

PATENT SPECIFICATION

NO DRAWINGS

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COMPLETE SPECIFICATION

Cyclopentanophenanthrene Derivatives and process for the Production thereof

We, SYNTEX S.A., Apartado Postal 2679, Mexico City, Mexico, a Corporation of Mexico, do hereby declare the invention, for which we pray that a patent may be granted 5 to us, and the method by which it is to be performed, to be particularly described in and by the following statement:—

The present invention relates to cyclopentanophenanthrene compounds and to a process 10 for the production thereof.

The present invention relates especially to novel 2-methyl estrone and estradiol derivatives and to a novel process for the production thereof. The novel compounds of the present 15 invention are estrogenic hormones generally suitable for the treatment of prostate cancer, i.e., they show lesser estrogenic activity together with valuable anti-androgenic activity.

In our application 16962/57 (Serial No. 20 857,080) there is disclosed the production of 2 - methyl - $\Delta^{14,5}$ - androstatriene - 3,17 - dione. In accordance with the present invention it has been discovered that this compound when subjected to pyrolysis at approximately 600° C. aromatizes to form 2-methyl-6-dehydro-estrone, an estrogenic hormone and intermediate for the production of other novel 2-methyl-estrone and estradiol derivatives. These are 2 - methyl - 6 - dehydro-estradiol, 2 - methyl - 17 α - ethinyl - 6 - dehydro-estradiol, 2 - methyl - estrone, 2 - methyl-estradiol, and 2 - methyl - 17 α - ethinyl-estradiol compounds. From these compounds by conventional means there may also be prepared their novel esters of hydrocarbon carboxylic acids of less than 12 carbon atoms. 25

The novel 2-methyl estrone and estradiol derivatives of the present invention may therefore be represented by the following formulae. 30

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[Price 3s. 6d.]